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(12) **United States Patent**
Baker et al.(10) **Patent No.:** **US 9,566,289 B2**(45) **Date of Patent:** ***Feb. 14, 2017**(54) **BORON-CONTAINING SMALL MOLECULES**(71) Applicant: **Anacor Pharmaceuticals, Inc.**, Palo Alto, CA (US)(72) Inventors: **Stephen J. Baker**, Collegeville, PA (US); **Tsutomu Akama**, Sunnyvale, CA (US); **Vincent S. Hernandez**, Watsonville, CA (US); **Karin M. Hold**, Belmont, CA (US); **Kirk Maples**, San Jose, CA (US); **Jacob J. Plattner**, Berkeley, CA (US); **Virginia Sanders**, San Francisco, CA (US); **Yong-Kang Zhang**, San Jose, CA (US); **Gregory T. Fieldson**, Morgantown, WV (US); **James J. Leyden**, Malvern, CA (US)(73) Assignee: **Anacor Pharmaceuticals, Inc.**, Palo Alto, CA (US)

(*) Notice: Subject to any disclaimer, the term of this patent is extended or adjusted under 35 U.S.C. 154(b) by 0 days.

This patent is subject to a terminal disclaimer.

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Related U.S. Application Data

(60) Continuation of application No. 14/537,771, filed on Nov. 10, 2014, which is a continuation of application No. 14/201,459, filed on Mar. 7, 2014, now Pat. No. 9,353,133, which is a continuation of application No. 13/356,488, filed on Jan. 23, 2012, now Pat. No. 8,722,917, which is a continuation of application No. 12/629,753, filed on Dec. 2, 2009, now Pat. No. 8,115,026, which is a division of application No. 11/505,591, filed on Aug. 16, 2006, now Pat. No. 7,767,657, and a continuation-in-part of application No. 11/357,687, filed on Feb. 16, 2006, now Pat. No. 7,582,621, said application No. 14/537,771 is a continuation-in-part of application No. 13/874,329, filed on Apr. 30, 2013, now Pat. No. 8,889,656, which is a continuation of application No. 13/224,252, filed on Sep. 1, 2011, now Pat. No. 8,440,642, which is a continuation of application No. 12/507,010, filed on Jul. 21, 2009, now Pat. No. 8,039,451, which is a continuation of application No. 11/357,687, filed on Feb. 16, 2006, now Pat. No. 7,582,621.

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See application file for complete search history.(56) **References Cited**

U.S. PATENT DOCUMENTS

2,260,336 A 10/1941 Prescott et al.
2,741,548 A 4/1956 Darling et al.
2,831,866 A 4/1958 Freeman et al.
(Continued)

FOREIGN PATENT DOCUMENTS

EP 0969531 1/2000
EP 0765331 B1 8/2000
(Continued)

OTHER PUBLICATIONS

Patent Owner's Response Pursuant to 37 C.F.R. § 42.120, 74 pages, IPR2015-01776, Jun. 6, 2016.

(Continued)

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This invention relates to compounds useful for treating fungal infections, more specifically topical treatment of onychomycosis and/or cutaneous fungal infections. This invention is directed to compounds that are active against fungi and have properties that allow the compound, when placed in contact with a patient, to reach the particular part of the skin, nail, hair, claw or hoof infected by the fungus. In particular the present compounds have physiochemical properties that facilitate penetration of the nail plate.

15 Claims, 63 Drawing Sheets